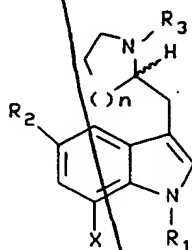


INDOLE DERIVATIVES

Abstract

Compounds of the formula



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wherein n is 0, 1, or 2; X is hydrogen, chlorine, bromine, or iodine; R₁ is hydrogen; R₂ is selected from hydrogen, halogen, cyano, -OR₄, -(CH₂)_m-(C=O)NR₅R₆, -(CH₂)_m-SO₂NR₅R₆, -(CH₂)_m-NR₇(C=O)R₈, -(CH₂)_m-NR₇SO₂R₈, -(CH₂)_m-S(O)_xR₈, -(CH₂)_m-NR₇(C=O)NR₅R₆, -(CH₂)_m-NR₇(C=O)OR₉, and -CH=CH(CH₂)_yR₁₀; R₃ is selected from hydrogen and C₁ to C₆ linear or branched alkyl; R₄ is selected from hydrogen, C₁ to C₆ alkyl, and aryl; R₅ and R₆ are independently selected from hydrogen, C₁ to C₆ alkyl, aryl, and C₁ to C₃ alkyl-aryl or R₅ and R₆ taken together to form a 4, 5, or 6 membered ring; R₇ and R₈ are independently selected from hydrogen, C₁ to C₆ alkyl, aryl, and C₁ to C₃ alkyl-aryl; R₉ is selected from hydrogen, C₁ to C₆ alkyl, aryl, and C₁ to C₃ alkyl-aryl; R₁₀ is selected from -(C=O)NR₅R₆ and -SO₂NR₅R₆, wherein R₅ and R₆ are defined as above, and -NR₇(C=O)R₈, -NR₇SO₂R₈, -NR₇(C=O)NR₅R₆, -S(O)_xR₈ and -NR₇(C=O)OR₉, wherein R₇, R₈, and R₉ are as defined above; y is 0, 1, 2, 3, or 4; x is 1 or 2; m is 0, 1, 2, or 3; and the above aryl groups and the aryl moieties of the above alkylaryl groups are independently selected from phenyl and substituted phenyl, wherein said substituted phenyl may be substituted with one to three groups selected from C₁ to C₄ alkyl, halogen, hydroxy, cyano, carboxamido, nitro, and C₁ to C₄ alkoxy, with the proviso that when R₂ is hydrogen or -OR₄ and R₄ is hydrogen, and

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the pharmaceutically acceptable salts thereof are new. These compounds are useful psychotherapeutics and are potent serotonin (5-HT₁) agonists and may be used in the treatment of depression, anxiety, eating disorders, 5 obesity, drug abuse, cluster headache, migraine, pain, chronic paroxysmal hemicrania and headache associated with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compounds can also be used as centrally acting antihypertensives 10 and vasodilators. A process for forming indoles by transition metal catalyzed cyclization of a dihalogenated intermediate.

15 BACKUP OF ID 21538